(19) World Intellectual Property **Organization**

International Bureau





(43) International Publication Date 6 January 2005 (06.01.2005)

PCT

(10) International Publication Number WO 2005/000222 A2

(51) International Patent Classification7:

A61K

(21) International Application Number:

PCT/US2004/017456

(22) International Filing Date: 28 May 2004 (28.05.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/474,233

(71) Applicant (for all designated States except US): AMYLIN PHARMACEUTICALS, INC. [US/US]; 9360 Town Center Drive, San Diego, CA 92121 (US).

30 May 2003 (30.05.2003)

- (72) Inventors; and
- (75) Inventors/Applicants (for US only): ONG, John, T., H. [US/US]; 2118 Sun Valley Road, San Marcos, CA 92069 (US). STETSKO, Gregg [US/US]; 7349 Juneus Court, San Diego, CA 92129 (US). JENNINGS, Robert [US/US]; 4216 Cort Favor, San Diego, CA 92130 (US).
- (74) Agent: MARSH, David, R.; Arnold & Porter, 555 12th Street, N.W., Washington, DC 20004-1206 (US).

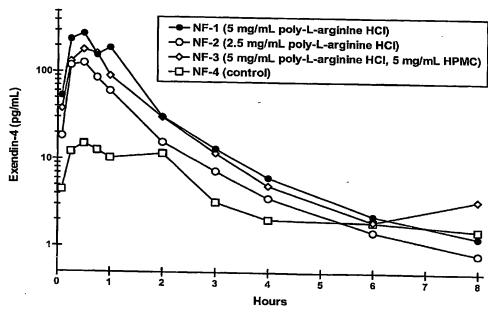
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,

[Continued on next page]

(54) Title: NOVEL METHODS AND COMPOSITIONS FOR ENHANCED TRANSMUCOSAL DELIVERY OF PEPTIDES AND PROTEINS



(57) Abstract: Provided are methods and compositions for enhancing the transmucosal absorption of bioactive peptides and proteins. More particularly, the invention provides compositions for enhancing the transmucosal absorption of bioactive peptides and proteins, such as exendin-4, PYY, PYY₃₋₃₆, and GLP-1 and their analogs and derivatives, wherein the compositions comprise an absorption enhancing mixture of a cationic polyamino acid and a buffer that is compatible with the polyamino acid. Also provided are methods for enhancing the transmucosal absorption and bioavailability of bioactive peptides and proteins using such compositions.



WO 2005/000222 A2

, Maria annara in Corna han abin bain ann an in ar ban aonn agus ioga in agus iog chaib ioga in an an in an is

CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)

- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

Published:

 without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.